FORM PTO-1449

INFORMATION DISCLOSURE CITATION

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Attorney Docket 22789XS	Serial Number 09/134,417
Applicant Ross, et al.	
Filing Date August 14, 1998	Group Art Unit 1614

U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	AA	5,703,088	12/30/97	Sharpe et al.			6/4/92
	AB	5,631,017	5/20/97	Sharpe et al.			3/26/93
	AC	5,614,547	3/25/97	Hamilton et al.			6/7/95
	AD	5,543,423	8/6/96	Zelle et al.			1/23/95

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		Document Number	Date	Country	Class	Sub-Class	Trans-lation
	~~AE~~	~~DE4015255~~	~~11/14/91~~	~~Germany~~			~~No~~
	~~AF~~	~~DE3931051~~	~~3/29/90~~	~~Germany~~			~~No~~
	~~AG~~	~~DE3508251~~	~~9/11/86~~	~~Germany~~			~~No~~
	AH	EP-652229	5/10/95	EPO			Yes
	AI	EP-572365	12/1/93	EPO			Yes
	AJ	EP-468339	1/29/92	EPO			Yes

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	AK	Ando, Takao et al., "Formation of Crossed Phenzine from the Reaction between Tetra-p-anisyl- and Tetra-p-tolyl-hydrazines in Liquid Sulphur Dioxide," Chem. Comm., S. Chem. Comm., 1975, 989.
	AL	Andrus, Merrit B., "Structure-based design of an acyclic ligand that bridges FKBP12 and calcineurin," J. Am. Chem. Soc., 1993, 115(2), 10420-1.
	AM	Armistead, D.M. et al., "Design, synthesis and structure of non-macrocyclic inhibitors of FKBP12, the major binding protin for the immunosuppressant FK506," Acta Crystallogr. 1995, D51(4), 522-8.
	AN	Askin, D. et al., "Chemistry of FK-506: benzilic acid rearrangement of the tricarbonyl system," Tetrahedron Lett., 1989, 30(6), 671-4.
	AO	Askin, D. et al., "Effecient Degradation of FK-506 to a versatile synthetic intermediate," J. Org. Chem., 1990, 55(20), 5451-4.
	AP	Baader, Ekkehard et al., "Inhibition of prolyl 4-hydroxylase by oxalyl amino acid derivatives in vitro, in isolated microsomes and in embryonic chicken tissues," Biochem. J., 1994, 300(2), 525-30.

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Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	BA	5,516,797	5/14/96	Armistead et al.			4/11/94
	BB	5,447,915	9/5/95	Schreiber et al.			8/28/92
	BC	5,424,454	6/13/95	Burbaum, B.W. et al.			5/26/94
	BD	5,414,083	5/9/95	Hackl et al.			1/24/94

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		Document Number	Date	Country	Class	Sub-Class	Translation
	BE	EP-419049	3/27/91	EPO			Yes
	BF	EP-405994	1/2/91	EPO			Yes
	BG	EP-378318	7/18/90	EPO			Yes
	BH	EP-352000	1/24/90	EPO			Yes
	BI	EP-333174	9/20/89	EPO			Yes
	BJ	EP-260118	3/16/88	EPO			Yes
	BK	EP-196841	10/8/86	EPO			Yes

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	BL		Baumann, K. et al., "Synthesis and oxidative cleavage of the major equilibrium products of ascomycin and Fk 506," Tetrahedron Lett., 1995, 26(13), 2231-4.
	BM		Bender, D., et al., "Periodate oxidation of α-keto γ-lactams. Enol oxidation and β-lactam formation. Mechanism of periodate hydroxylation reactions," J. Org. Chem., 1978, 43(17), 3354-62.
	BN		Birkenshaw, T.N. et al., "Synthetic FKBP12 Ligands. Design and Synthesis of Pyranose Replacements," Bioorganic & Medicinal Chemistry Letters, (1994) 4:21, 2501-2506.
	BO		Boulmedais, Ali et al., "Stereochemistry of Electrochemical Reduction of Optically Active α-ketoamides. II. Electroreduction of benzoylformamides derived from S-(-)-proline," Bull. Soc. Chim. Fr., 1989, (2), 185-91. (French)
	BP		Cameron, Andrew et al., "Immunophilin FK506 binding protein associated with inositol 1,4,5-triphosphate receptor modulates calcium flux," Proc. Natl. Acad. Sci. USA, 1995, 92, 1784-1788.
	BQ		Caufield, Craig E. and Musser, John H., "Macrocyclic Immunomodulators," Annual Reports in Medicinal Chemistry, Johns (Ed.), Academic Press, Chapter 21, 195-204, 1989.

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U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	CA	5,359,138	10/25/94	Takeuchi et al.			6/29/92
	CB	5,330,993	7/19/94	Armistead et al.			7/2/91

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	CC	EP--88350	9/14/83	EPO			Yes
	CD	EP--73143	3/2/83	EPO			Yes

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	CE		Caffrey, M.V. et al., "Synthesis and Evaluation of Dual Domain Macrocyclic FKBP12 Ligands," Bioorganic & Medicinal Chemistry Letters, (1994) 4:21, 2507-2510.
	CF		Chakraborty, TK et al., "Design and Synthesis of a rapamycin-based high affinity binding FKBP12 ligand," Chem. Biol., 1995, 2(3), 157-61.
	CG		Chakaraborty, Tushar K., "Studies towards the development of cyclic peptide-based analogs of macrolide immunosuppressants," Pure Appl. Chem., 1996, 68(3), 565-568.
	CH		Coleman, R., and Danishefsky, S., "Degradation and manipulations of the immunosuppressant FK506: preparation of potential synthetic intermediates," Heterocycles, 1989, 28(1), 157-61.
	CJ		Colombo, L. et al., "Enantioselective synthesis of secondary alcohols in the presence of chiral ligands," Tetrahedron, 1982, 38(17), 2725-7.
	CK		Cunliffe, C. Jane et al., "Novel inhibitors of prolyl 4-hydroxylase. 3. Inhibition by the substrate analog N-oxaloglycine and its derivatives," J. Med. Chem., 1992, 35 (14), 2652-8.
	CL		Cushman, D.W. et al., "Design of potent competitive inhibitors of angiotensin-converting enzyme. Carboxyalkanoyl and mercaptoalkanoyl amino acids," Biochemistry, 1977, 16(25), 5484-91.
	CM		Dawson, Ted M. et al., "Immunosuppressant FK506 enhances phosphorylation of nitric oxide synthase and protects against glutamate neurotoxicity," Proc. Natl. Acad. Sci. USA, 1993, 90, 9808-12.
	CN		Dawson, T.M. et al., "The immunophilins, FK506 binding and cyclophilin, are discretely localized in the brain: relationship to calcineurin," Neuroscience, 1994, 62(2), 569-80.

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Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	DA	5,319,098	6/7/94	Burbaum, B.W. et al.			5/26/94
	DB	5,294,603	3/15/94	Rinehart, K.L.			2/18/92

FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Trans-lation
	DC	EP--50800	5/5/82	EPO			Yes
	DD	EP--48159	3/24/82	EPO			Yes
	DE	EP--12401	6/25/80	EPO			Yes
	DF	GB2247456	3/4/92	United Kingdom			Yes
	DG	JP05178824	7/20/93	Japan			No

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	DG	Effenberger F. et al., "Diastereoselective addition of benzenesulfenyl chloride to 1-acryloylproline esters," Chemical Abstracts, 1989, 110:154846h.
	DH	Egbertson, M. and Danishefsky, S., "A synthetic route to the tricarbonyl region of FK-506," J. Org. Chem., 1989, 54(1), 11-12.
	DI	Feutren, Gilles, "The Optimal use of Cyclosporin A in Autoimmune Diseases," J. of Autoimmunity, 1992, 5, 183-95.
	DJ	Finberg, Robert W. et al., "Prevention of HIV-1 Infection and Preservation of CD4 Function by the Binding of CPFs to gp120," Science, 1990, 249, 287-91.
	DK	Fisher, Matthew et al., "On the remarkable propensity for carbon-carbon bond cleavage reactions in teh C(8)-C(10) region of FK-506," J. Org. Chem., 1991, 56(8), 2900-7.
	DL	Fry, Lionel, "Psoriasis: Immunopathology and Long-term treatment with Cyclosporin," J. of Autoimmunity, 1992, 5, 277-83.

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U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	EA	5,252,579	10/12/93	Skotnicki et al.			2/16/93
	EB	5,147,877	9/15/92	Goulet			9/12/91

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	EC	JP04149166	5/22/92	Japan			No
	ED	WO9824805	6/11/98	PCT			Yes
	EE	WO9820893	5/22/98	PCT			Yes

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	EF	Furber, Mark, "FKBP-12-ligand-calceineurin interactions: analogs of SBL506," J. Am. Chem. Soc., 1995, 117(27), 7267-8.
	EG	Furber, M. et al., "Studies relating to the immunosuppressive activitiy of FK506," Tetrahedron Lett., 1993, 34(8), 1351-4.
	EH	Goodfellow, Val S. et al., "p-Nitrophenyl 3-diazopyruvate and diazopyruvamides, a New Family of Photoactivatable Cross-Linking Bioprobes," Biochemistry, 28(15), 6346-60.
	EI	Goulet, Mark T., and Boger, Joshua, "Degradative studies on the tricarbonyl containing macrolide rapamycin," Tetrahedron Lett., 1990, 31(34), 4845-8.
	EJ	Goulet, Mark T. and Boger, Joshua, "Degradative studies on the tricarbonyl containing macrolide rapamycin," Tetrahedron Lett., 1991, 32(45), 6454.
	EK	Haeusler, Johannes and Schmidt, Ulrich, "Amino acids and peptides. IX. Pyruv oyl amino acids," Chem. Ber., 1974, 107(1), 145-51. (German)
	EL	Harding, M.W., et al., "A receptor for the immunosuppressant FK506 is a *cis-trans* peptidyl-prolyl isomerase," Nature Lett., 1989, 341, 758-60.
	EM	Hauske, J.R. et al. "Design and Synthesis of Novel FKBP Inhibitors," J. of Medicinal Chemistry, (1992) 35, 4284-4296.
	EN	Hauske, James R. et al., "Investigation of the effects of synthetic, non-cytotoxic immunophilin inhibitors on MDR," Bioorg. Med. Chem.. Lett., 1994, 4(17), 2097-102.
	EO	Hayward, C.M. et al., "Total Synthesis of rapamycin via a novel titanium-mediated aldol macrocyclization reaction," J. Am. Chem. Soc., 1993, 115(20), 9345-6.
	EP	Hayward, C.M. et al., "An application of the Suarez reaction to the regiospecific synthesis of the C_{28}-C_{42} segment of rapamycin," 3989-92.

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Attorney Docket 22789XS	Serial Number 09/134,417
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U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	FA	4,818,749	4/4/89	Gold, E.H. et al.			4/4/89
	FB	4,808,573	2/28/89	Gold, E.H. et al.			2/28/89

FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Translation
	FC	WO9820892	5/22/98	PCT			Yes
	FD	WO9820891	5/22/98	PCT			Yes
	FE	WO9636630	11/21/96	PCT			Yes
	FF	WO9633187	10/24/96	PCT			Yes
	FG	WO9633184	10/24/96	PCT			Yes
	FH	WO9617816	6/13/96	PCT			Yes
	FI	WO9615101	5/23/96	PCT			Yes
	FJ	WO9606097	2/29/96	PCT			Yes
	FK	WO9603318	10/24/96	PCT			Yes
	FL	WO9535367	12/28/95	PCT			Yes
	FM	WO9535308	12/28/95	PCT			Yes

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	FN	Holt, D.A. et al., "Design, Synthesis, and Kinetic Evaluation of High-Affinity FKBP Ligands and the X-ray Crystal Structures of Their Complexes with FKBP12," J. Am. Chem. Soc., (1993) 115, 9925-9938.
	FO	Holt, D.A. et al., "Structure-Activity Studies of Nonmacrocyclic Rapamycin Derivatives," Bioorganic & Medicinal Chemistry Letter, (1993) 3:10, 1977-1980.
	FP	Holt, D.A. et al., "Structure-Activity Studies of Synthetic FKBP Ligands as Peptidyl-prolyl Isomers Inhibitors," Bioorganic & Medicinal Chemistry Letters, (1994) 4:2, 315-320.
	FQ	Hearn, Walter R., and Worthington, Robert E., "L-Proline-N-oxalic anhydride," J. Org. Chem., 1967, 32(12), 4072-4.
	FR	Iwabuchi, T. et al., "Effects of immunosuppressive peptidyl-prolyl cis-trans isomerase (PPIase inhibitors, cyclosporin A, FK506, ascomycin and rapamycin, on hair growth initiation in mouse: immunosuppression is not required for hair growth," J. of Deramatol. Sci., (1995) 9:1, 64-69.
	FS	Jiang, H. et al., "Induction of anagen in telogen mouse skin by topical application of FK506, a potent immunosuppressant," J. Invest. Dermatol., (1995) 104:4, 523-525.
	FT	Jones, T. et al., "Chemistry of tricarbonyl hemiketals and application of Evans technology to the total synthesis of the immunosuppressant (-)-FK-506," J. Am. Chem. Soc., 1990, 112(8), 2998-3017.
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U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	GA	4,593,102	6/3/86	Shanklin Jr.			7/1/95
	GB	4,578,474	3/25/86	Krapcho et al.			11/19/84

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		Document Number	Date	Country	Class	Sub-Class	Translation
	GC	WO9526337	10/5/95	PCT			Yes
	GD	WO9524385	9/14/95	PCT			Yes

OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

	GE		Kaczmar, et al., Makromol. Chem., 1976, 177, 1981-9 (German).
	GF		Karle, Isabella L. et al., "Coformation of the oxalamide group in retro-bispeptides. Three crystal structures," Int. J. Pept. Protein Res., 1994, 43(2), 160-5.
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	GH		Kocienski, P. et al., "A synthesis of the C(1)-C(15) segment of tsukubaenolide (FK506)," Tetrahedron Lett., 1988, 29(35), 4481-4.
	GI		Krit, N.A. et al., "Impact of the nature of alkyl radical on the biological activity of N-carboxyalkyl dipeptides," Khim.-Farm. Zh., 1991, 25(7), 44-6. (Russian)
	GJ		Linde, Robert G. et al., "Straightforward synthesis of 1,2,3-tricarbonyl systems," J. Org. Chem., 1991, 56(7), 2534-8.
	GK		Luengo, Juan I. et al., "Efficient removal of pipecolinate from rapamycin and FK506 by reaction with tetrabutylammonium cyanide," Tetrahedron Lett., 1993, 34(29), 4599-602.
	GL		Luengo, J. et al., "Studies on the chemistry of rapamycin: novel transformation under Lewis-acid catalysis," Tetrahedron Lett., 1993, 34(6), 991-4.
	GM		Luengo, J.I. et al., "Synthesis and Structure-Activity Relationships of Macrocyclic FKBP Ligands," Bioorganic & Medicinal Chemistry Letters, (1994) 4:2, 321-324.
	GN		Luengo, J. et al., "Structure-activity studies of rapamycin analogs: evidence that the C-7 methodoxy group is part of the effector domain and positioned at the FKBP:12-FRAP interface," Chem. Biol., 1995, 2(7), 471-81.
	GO		Lyons, W. Ernest et al., "Neronal Regeneration Enhances the Expression of the Immunophilin FKBP-12," The Journal of Neuroscience, 1995, 15, 2985-94.

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	HA	4,574,079	3/4/86	Gavras, H.P. et al.			3/4/86
	HB	4,531,964	7/30/85	Shimano et al.			8/29/83

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	HC	WO9512572	5/11/95	PCT			Yes
	HD	WO9413629	6/23/94	PCT			Yes

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	HE		Marshall, J.A. et al., "Convenient synthesis of dioxopiperazines via aminolysis of .alpha.-(pyruvylamino) esters, Synth. Commun., 1975, 5(3), 237-44.
	HF		Mashkovskii, M.D. et al., "1-[4-(2-Hydroxy-3-tert-butylaminopropoxy)-indole-3-yl (5-acetamido-1-(S)-carboxypentyl)-DL-alanyl]-L-proline dihydrochloride, a new angiotensin-converting enzyme inhibitor with β-adrenoblocking properties," Khim.-Farm. Zh., 1993, 27(10), 16-20. (Russian)
	HG		Munegumi, Toratane et al., "Asymmetric Catalytic Hydrogenations of N-pyruvoyl-(S)-proline esters," Bull. Chem. Soc. Jpn., 1987, 60(1), 243-53.
	HH		Munoz, Benito et al., "α-Ketoamide Phe-Pro isostere as a new core structure for the inhibition of HIV protease," Bioorg. Med. Chem., 1994, 2(10), 1085-90.
	HI		Nakatsuka, M et al. "Total Synthesis of FK506 and an FKBP Reagent, (C_8, C_9-$^{13}C_2$)-FK-506," J. Am. Chem. Soc., 1990, 112 (14), 5583-90..
	HJ		Nelson, F. et al., "A novel ring contraction of rapamycin," Tetrahedron Lett., 1994, 35(41), 7557-60.
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	HM		Ponticelli, Claudio, "Treatment of the Nephrotic Syndrome with Cyclosporin A," J. of Autoimmunity, 1992, 5, 315-24.
	HN		Ranganathan, Darshan et al., "Protein Backbone Modification by Novel Cα-C Side-Chain Scission," 1994, J. Am. Chem. Soc., 116(15), 6545-57.

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U.S. PATENT DOCUMENTS

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	IA	4,390,695	6/28/83	Krapcho et al.			6/1/81
	IB	4,374,829	2/22/83	Harris, E., et al.			2/22/83
	IC	4,310,461	1/12/82	Krapcho et al.			1/23/80
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	IE	WO9407858	4/14/94	PCT			Yes
	IF	WO9405639	3/17/94	PCT			Yes

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	IG		Rao, A.V., et al., "Studies directed towards the synthesis of immunosuppressive agent FK-506: construction of the tricarbonyl moiety," Tetrahedron Lett., 1990, 31(10), 1439-42.
	IH		Rao, A.V. Rama et al., "Studies directed towards the synthesis of immunosuppressive agent FK-506: synthesis of the entire bottom half," Tetrahedron Lett., 1991, 32(9), 1251-4.
	II		Rao, A.V. Rama and Desibhatla, Vidyanand, "Studies directed towards the syntesis of rapamycin: stereoselective synthesis of C-1 to C-15 segment," Tetrahedron Lett., 1993, 34(44), 7111-14.
	IJ		Shu, A. et al., "Synthesis of I-125 labeled photoaffinity rapamycin analogs," J. Labelled Compd. Radiopharm., 1996, 38(3), 277-37.
	IK		Skotnicki, Jerauld et al., "Ring expanded rapamycin derivatives," Tetrahedron Lett., 1994, 35(2), 201-2.
	IL		Skotnicki, Jerauld et al., "Synthesis of secorapamycin esters and amides," Tetrah. Lett., 1994, 35(2), 197-200.
	IM		Slee, Deborah H. et al., "Selectivity in the Inhibition of HIV and FIV Protease: Inhibitory and Mechanistic Studies of Pyrrolidine-Containing α-Keto Amide and Hydroxyethylamine Core Structures, J. Am. Chem. Soc., 1995, 117(48), 1187-78.
	IN		Smith, A.B. et al., "Total synthesis of rapamycin and demethoxyrapamycin," J. Am. Chem. Soc., 1995, 117(19), 5407-8.
	IO		Soai, Kenso and Ishizaki, Miyuki, "Diastereoselective asymmetric allylation of chiral α-keto amides with allyltrimethylsilane. Preparation of protected homoallylic alcohols," J. Chem. Soc., 1984, 15, 1016-1017.

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	JA						

FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Trans-lation
	JB	WO9325546	12/23/93	PCT			Yes
	JC	WO9323548	11/15/93	PCT			Yes

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FORM PTO-1449 INFORMATION DISCLOSURE CITATION	Attorney Docket 22789XS	Serial Number 09/134,417
	Applicant Ross, et al.	
	Filing Date August 14, 1998	Group Art Unit 1614

U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	KA						

FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Translation
	KB	WO9313066	7/8/93	PCT			Yes
	KC	WO9307269	4/15/93	PCT			Yes

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	KD		Stocks, M. et al., "The contribution to the binding of the pyranoside sustituents in the excised binding domain of FK-506," Bioorg. Med. Chem. Lett., 1994, 4(12), 1457-60.
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	Applicant Ross, et al.	
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U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	LA						

FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Trans-lation
	LB	WO9221313	12/10/92	WIPO			
	LC	WO9219745	11/12/92	WIPO			

OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

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INFORMATION DISCLOSURE CITATION

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Attorney Docket	Serial Number
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Applicant: Ross, et al.	
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U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
	MA						
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FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Trans-lation
W	MC	WO9219593	11/12/92	PCT			Yes
W	MD	WO9218478	10/29/92	PCT			Yes
W	ME	WO9216501	10/1/92	PCT			Yes
W	MF	WO9204370	3/19/92	PCT			Yes
W	MG	WO9203472	3/5/92	PCT			Yes
W	MH	WO9200278	1/9/92	PCT			Yes
W	MI	WO9113088	9/5/91	PCT			Yes
W	MJ	WO9104985	4/18/91	PCT			Yes
W	MK	WO9012805	11/1/90	PCT			Yes
W	ML	WO8809789	12/15/88	PCT			Yes
W	MM	ZA9207782	4/28/93	South Africa			Yes

OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

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